

SS 4?
fpat j51142524

-1- (WPAT)

AN - 77-06514Y/04 (06514Y)

TI - Finely granular antiulcer agents of improved stomach retention
time - are coated with a silicic acid deriv.

DC - B05

PA - (TAKE) TAKEDA CHEMICAL IND KK

NP - 2

PN - J51142524-A 76.12.08 (7704) {JP}

J83009083-B 83.02.18 (8311) {JP}

PR - 75.05.30 75JP-065960

IC - A61K-009/16

AB - The fine granules, having improved retention time in the stomach
of the active ingredient, partic. anti-ulcer agents (inter alia,
anti-pepsin agents), are obt'd. by granulating (by known methods).
The active ingredient or a compsn. contg. the active ingredient,
vehicles, disintegrators, binders and/or other additives.

The resulting granules are then coated with a silicic acid,
pref. those which do not have a water molecule layer among the
crystal layers (for example, light silicic anhydride, talc,
synthetic aluminium silicate, synthetic magnesium metasilicate,
etc.).

The coating is 1-20 times (pref. 1-5 times) the wt. of the
active ingredient. Prefd. particle size of the coated granules
is 1500-10 mu, partic. 500-50 mu.

BEST AVAILABLE COPY

06514Y/04

B05

TAKEDA CHEMICAL IND KK

30.05.75-JA-065960 (08.12.76) A61k-09/16

Finely granular antiulcer agents of improved stomach retention time - are coated with a silicic acid deriv.

TAKE 30.05.75

*J5 1142-524

The fine granules, having improved retention time in the stomach of the active ingredient, partic. anti-ulcer agents (inter alia, anti-pepsin agents), are obtd. by granulating (by known methods). The active ingredient or a compsn. contg. the active ingredient, vehicles, disintegrators, binders and/or other additives.

The resulting granules are then coated with a silicic acid, pref. those which do not have a water molecule layer among the crystal layers (for example, light silicic anhydride, talc, synthetic aluminium silicate, synthetic magnesium metasilicate, etc.).

The coating is 1-20 times (pref. 1-5 times) the wt. of the active ingredient. Prefd. particle size of the coated granules is 1500-10000, partic. 500-5000.

EXAMPLE

50 mg. of pepsinostreptin, 345 mg. of milk sugar and 50 mg. of light silicic anhydride were homogeneously blended, and a part of hydroxypropyl cellulose dissolved in water was added to the homogenate followed by granulating. 50 mg. of

06514

B(4-C1, 5-B2C, 12-E8, 12-G1, 12-M11). 5

light silicic anhydride was further added to the blend, and the rest of the hydroxypropyl cellulose a solution was added to the blend. The blend was again granulated, and those granules having passed through a sieve No 32 were taken as fine granules.

06515Y/04

B05

TAKEDA CHEMICAL IND KK

30.05.75-JA-065961 (08.12.76) A61k-09/14

Finely granular powdered oligopeptide antipepsin agents - incorporate a porous adsorbent and have improved stomach retention time

TAKE 30.05.75

*J5 1142-525

Examples of the oligopeptide type anti-pepsin agents are (partic.) pepsinostreptins, pepstatins, S-PI, etc.). They are adsorbed on a porous adsorbent of particles

06515

B(4-C3B, 4-C1, 5-C6, 12-G1, 12-M10). 5

EXAMPLE

Pepsinostreptin 50 mg. was dissolved in water, and 100 mg. of activated carbon was added followed by stirring. After it was confirmed that the pepsinostreptin was adsorbed onto said activated carbon, the activated carbon was filtered and dried. D-sorbitol 600 mg. and α-starch 50 mg. were added

J51142524